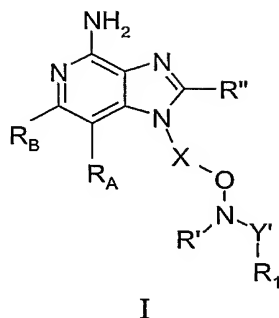


WHAT IS CLAIMED IS:

1. A compound of the formula (I):



wherein:

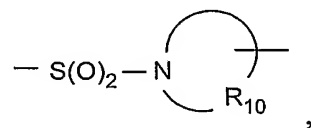
X is selected from the group consisting of -CH(R_{9a})-alkylene-, and -CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

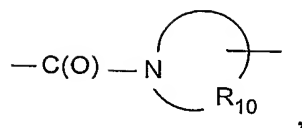
Y' is selected from the group consisting of:

a bond,

$$-\text{C}(\text{O})-$$

-C(S)-,

$$-\text{S}(\text{O})_2^-,$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$

$$-\text{C}(\text{O})-\text{O}-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$$
$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$$



$-\text{C}(\text{O})-\text{C}(\text{O})-$,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and

$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

5 R_1 and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

10 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

15 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

hydroxyl,

alkyl,

20 haloalkyl,

hydroxyalkyl,

alkoxy,

dialkylamino,

$-\text{S}(\text{O})_{0-2}$ -alkyl,

25 $-\text{S}(\text{O})_{0-2}$ -aryl,

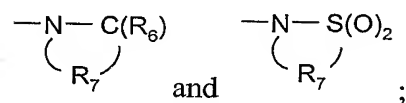
$-\text{NH}-\text{S}(\text{O})_2$ -alkyl,

$-\text{NH}-\text{S}(\text{O})_2$ -aryl,

haloalkoxy,

halogen,
nitrile,
nitro,
aryl,
heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-C(O)-alkyl, and
-C(O)-alkyl;

or R₁ and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



R_A and R_B are each independently selected from the group consisting of:

hydrogen,
halogen,
alkyl,
alkenyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

or when taken together, R_A and R_B form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R''' groups;

or when taken together, R_A and R_B form a fused 5 to 7 membered saturated

ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

5 halogen,
 hydroxyl,
 alkyl,
 alkenyl,
 haloalkyl,
 alkoxy,
10 alkylthio, and
 -N(R₉)₂;

R₆ is selected from the group consisting of =O and =S;

R₇ is C₂₋₇ alkylene;

15 each R₈ is independently selected from the group consisting of hydrogen,
C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

 each R₉ is independently selected from the group consisting of hydrogen and alkyl;

 R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

20 R₁₀ is C₃₋₈ alkylene;

 R'' is hydrogen or a non-interfering substituent; and

 each R''' is a non-interfering substituent;

or a pharmaceutically acceptable salt thereof.

25 2. The compound or salt of claim 1 wherein X is -CH(R_{9a})-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

3. The compound or salt of claim 2 wherein X is -C₃₋₅ alkylene- or -CH₂CH₂OCH₂CH₂-.

30

4. The compound or salt of any one of claims 1 through 3 wherein R' is selected from the group consisting of hydrogen and C₁₋₄ alkyl.
5. The compound or salt of any one of claims 1 through 4 wherein Y' is a bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.
6. The compound or salt of any one of claims 1 through 4 wherein Y' is -C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.
7. The compound or salt of any one of claims 1 through 4 or 6 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
8. The compound or salt of claim 7 wherein R₁ is selected from the group consisting of C₁₋₆ alkyl and pyridyl.
9. The compound or salt of any one of claims 1 through 4 or 6 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
10. The compound or salt of claim 9 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
11. The compound or salt of any one of claims 1 through 10 wherein:

R" is selected from the group consisting of:

$$-R_4,$$

-X'-R₄,

-X'-Y-R₄, and

5 -X'-R₅;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O-

10 groups;

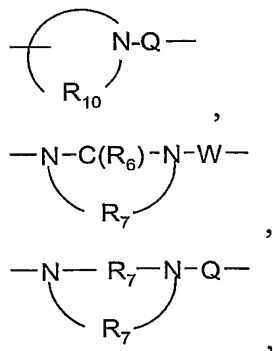
Y is selected from the group consisting of:

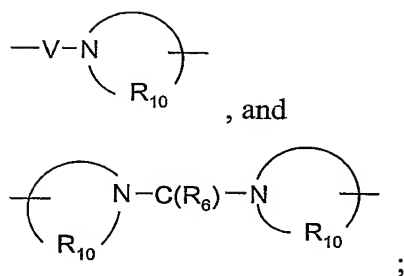
$$-S(O)_{0-2-},$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{R}_6)-,$$

15 $-\text{C}(\text{R}_6)\text{-O-}$,

$$-\text{O}-\text{C}(\text{R}_6)-,$$
$$-\text{O}-\text{C}(\text{O})-\text{O}-,$$
$$-\text{N}(\text{R}_8)-\text{Q}-,$$
$$-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$

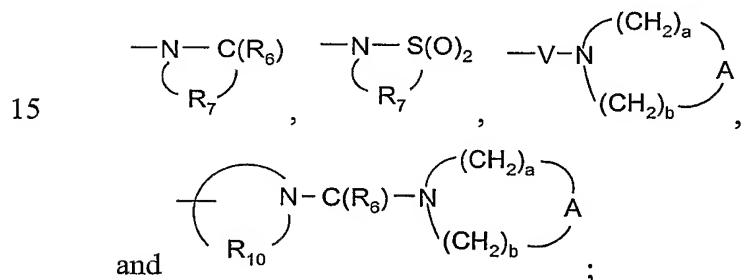
20 $\text{-O-C(R}_6\text{)-N(R}_8\text{)-}$,

$$-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-,$$




R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl,
 aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,
 5 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl,
 alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,
 heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl
 groups can be unsubstituted or substituted by one or more substituents
 independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl,
 10 haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy,
 arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl,
 amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of
 alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

each R₈ is independently selected from the group consisting of hydrogen,
 20 C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

each R₁₀ is independently C₃₋₈ alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-,
 5 -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-,
 -N(R₈)-C(R₆)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤
 10 7.

12. The compound or salt of claim 11 wherein R" is hydrogen, alkoxyalkylenyl,
 -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)₀₋₂-, -S(O)₂-N(R₈)-,
 -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-, -C(R₆)-N(R₈)-,
 15 -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-; and R₄ is alkyl.

13. The compound or salt of claim 12 wherein R" is selected from the group
 consisting of hydrogen, alkyl, and alkoxyalkylenyl.

20 14. The compound or salt of claim 13 wherein R" is selected from the group
 consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl,
 and methoxymethyl.

25 15. The compound or salt of claim 11 wherein R" is selected from the group
 consisting of:

hydrogen,
 alkyl,
 alkenyl,
 aryl,
 30 heteroaryl,

heterocyclyl,
 alkylene-Y''-alkyl,
 alkylene-Y''-alkenyl,
 alkylene-Y''-aryl, and
 5 alkyl or alkenyl substituted by one or more substituents selected from
 the group consisting of:
 hydroxyl,
 halogen,
 -N(R_{8a})₂,
 10 -C(O)-C₁₋₁₀ alkyl,
 -C(O)-O-C₁₋₁₀ alkyl,
 -N₃,
 aryl,
 heteroaryl,
 15 heterocyclyl,
 -C(O)-aryl, and
 -C(O)-heteroaryl;

wherein:

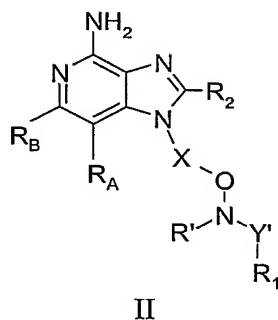
Y'' is -O- or -S(O)₀₋₂-; and
 20 each R_{8a} is independently selected from the group consisting of
 hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

16. The compound or salt of any one of claims 1 through 15 wherein R_A and R_B
 form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl ring or
 25 heteroaryl ring is unsubstituted.

17. The compound or salt of any one of claims 1 through 15 wherein R_A and R_B
 form a fused 5 to 7 membered saturated ring, optionally containing one N, wherein
 the saturated ring is unsubstituted.

30

18. A compound of the formula (II):

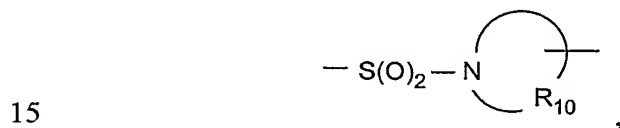


5 wherein:

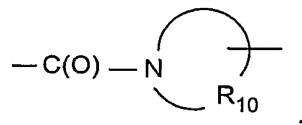
X is selected from the group consisting of -CH(R_{9a})-alkylene- and -CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

- 10 a bond,
 -C(O)-,
 -C(S)-,
 -S(O)₂-,
 -S(O)₂-N(R₈)-,



- C(O)-O-,
 -C(O)-N(R₈)-,
 -C(S)-N(R₈)-,
 -C(O)-N(R₈)-S(O)₂-,
 20 -C(O)-N(R₈)-C(O)-,
 -C(S)-N(R₈)-C(O)-,



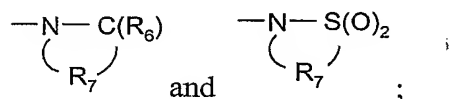
-C(O)-C(O)-,
-C(O)-C(O)-O-, and
-C(=NH)-N(R₈)-;

R₁ and R' are independently selected from the group consisting of:

- 5 hydrogen,
 alkyl,
 alkenyl,
 aryl,
 arylalkylenyl,
10 heteroaryl,
 heteroarylalkylenyl,
 heterocyclyl,
 heterocyclylalkylenyl, and
 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
15 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents
 selected from the group consisting of:
 hydroxyl,
 alkyl,
 haloalkyl,
20 hydroxyalkyl,
 alkoxy,
 dialkylamino,
 -S(O)₀₋₂-alkyl,
 -S(O)₀₋₂-aryl,
25 -NH-S(O)₂-alkyl,
 -NH-S(O)₂-aryl,
 haloalkoxy,
 halogen,
 nitrile,
30 nitro,

aryl,
 heteroaryl,
 heterocyclyl,
 aryloxy,
 5 arylalkyleneoxy,
 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-C(O)-alkyl, and
 10 -C(O)-alkyl;

or R₁ and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



R_A and R_B are each independently selected from the group consisting of:
 15 hydrogen,
 halogen,
 alkyl,
 alkenyl,
 alkoxy,
 20 alkylthio, and
 -N(R₉)₂;

or when taken together, R_A and R_B form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups,
 25 or substituted by one R₃ group, or substituted by one R₃ group and one R group;

or when taken together, R_A and R_B form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,
hydroxyl,
alkyl,
5 alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

10 R₂ is selected from the group consisting of:

-R₄,
-X'-R₄,
-X'-Y-R₄, and
-X'-R₅;

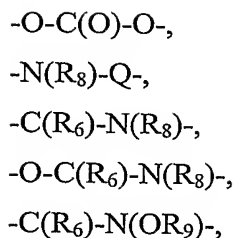
15 R₃ is selected from the group consisting of:

-Z-R₄,
-Z-X'-R₄,
-Z-X'-Y-R₄, and
-Z-X'-R₅;

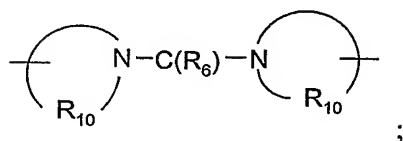
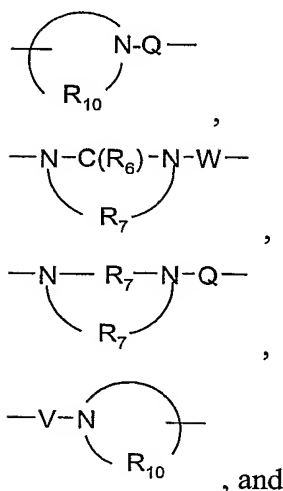
20 each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

25 each Y is independently selected from the group consisting of:

-S(O)₀₋₂-,
-S(O)₂-N(R₈)-,
-C(R₆)-,
-C(R₆)-O-,
30 -O-C(R₆)-,



5



10

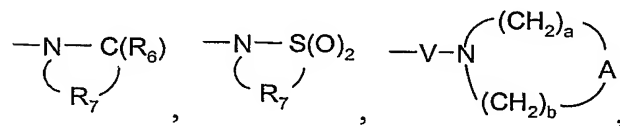
Z is a bond or $-\text{O}-$;

each R_4 is independently selected from the group consisting of hydrogen,
 alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl,
 heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be
 unsubstituted or substituted by one or more substituents independently selected from
 the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,
 nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl,
 heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,

20

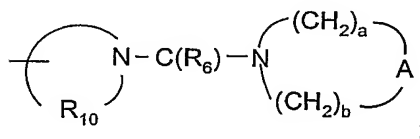
dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R_5 is independently selected from the group consisting of:



5

and



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

each R₈ is independently selected from the group consisting of hydrogen,

C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

10

each R₉ is independently selected from the group consisting of hydrogen and

R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R₁₀ is independently C₃₋₈ alkylene;

15

each A is independently selected from the group consisting of -O-, -C(O)-,

$$-\text{CH}_2-, -\text{S}(\text{O})_{0-2}-, \text{ and } -\text{N}(\text{R}_4)-;$$

each Q is independently selected from the group consisting of a bond,

-C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

20

each W is independently selected from the group consisting of a bond,

-C(O)-, and -S(O)₂-;

each V is independently selected from the group consisting of -C(R₆)-,

-O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-; and

25

7;

or a pharmaceutically acceptable salt thereof.

19. The compound or salt of claim 18 wherein X is -CH(R_{9a})-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.
- 5 20. The compound or salt of claim 19 wherein X is -C₃₋₅ alkylene- or -CH₂CH₂OCH₂CH₂-.
21. The compound or salt of any one of claims 18 through 20 wherein R' is selected from the group consisting of hydrogen and C₁₋₄ alkyl.
- 10 22. The compound or salt of any one of claims 18 through 21 wherein Y' is a bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.
23. The compound or salt of any one of claims 18 through 21 wherein Y' is
15 -C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.
24. The compound or salt of any one of claims 18 through 21 or 23 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group
20 consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
25. The compound or salt of claim 24 wherein R₁ is selected from the group consisting of C₁₋₆ alkyl and pyridyl.
- 25 26. The compound or salt of any one of claims 18 through 21 or 23 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,
30 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

27. The compound or salt of claim 26 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
28. The compound or salt of any one of claims 18 through 27 wherein R₂ is hydrogen, alkoxyalkylenyl, -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)₀₋₂-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-, -C(R₆)-N(R₈)-, -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-, and R₄ is alkyl.
29. The compound or salt of claim 28 wherein R₂ is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.
30. The compound or salt of claim 29 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.
31. The compound or salt of any one of claims 18 through 27 wherein R₂ is selected from the group consisting of:
- hydrogen,
 - alkyl,
 - alkenyl,
 - aryl,
 - heteroaryl,
 - heterocyclyl,
 - alkylene-Y"-alkyl,
 - alkylene-Y"-alkenyl,
 - alkylene-Y"-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from the group consisting of:

- hydroxyl,
- halogen,
- 5 -N(R_{8a})₂,
- C(O)-C₁₋₁₀ alkyl,
- C(O)-O-C₁₋₁₀ alkyl,
- N₃,
- aryl,
- 10 heteroaryl,
- heterocyclyl,
- C(O)-aryl, and
- C(O)-heteroaryl;

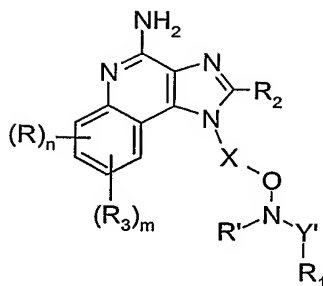
wherein:

- 15 Y" is -O- or -S(O)₀₋₂-; and
- each R_{8a} is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

32. The compound or salt of any one of claims 18 through 31 wherein R_A and R_B form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl ring or heteroaryl ring is unsubstituted.

33. The compound or salt of any one of claims 18 through 31 wherein R_A and R_B form a fused 5 to 7 membered saturated ring, optionally containing one N, wherein the saturated ring is unsubstituted.

34. A compound of the formula (III):



III

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
 5 -CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally
 interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

a bond,

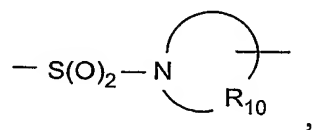
-C(O)-,

10

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

15

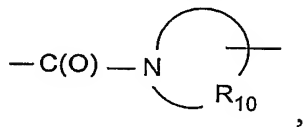
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

each R is independently selected from the group consisting of:

halogen,

5 hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R₉)₂;

R₁ and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

15 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

20 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

25 hydroxyl,

alkyl,

haloalkyl,

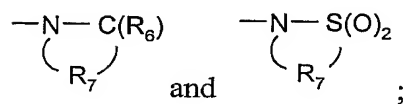
hydroxyalkyl,

alkoxy,

30 dialkylamino,

5 -S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
nitrile,
nitro,
aryl,
10 heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
15 -C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-C(O)-alkyl, and
-C(O)-alkyl;

20 or R₁ and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



R_2 is selected from the group consisting of:

25 -R₄,
 -X'-R₄,
 -X'-Y-R₄, and
 -X'-R₅;

R_3 is selected from the group consisting of:

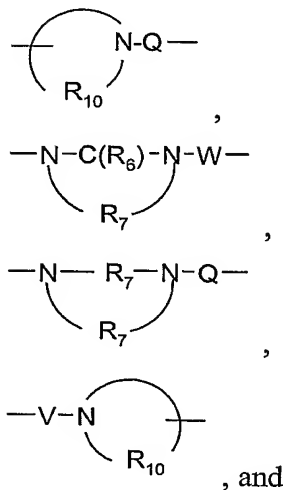
-Z-R₄,

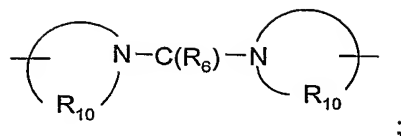
-Z-X'-R₄,
 -Z-X'-Y-R₄, and
 -Z-X'-R₅;

each X' is independently selected from the group consisting of alkylene,
 5 alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the
 alkylene, alkenylene, and alkynylene groups can be optionally interrupted or
 terminated with arylene, heteroarylene, or heterocyclylene, and optionally
 interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

10 -S(O)₀₋₂-,
 -S(O)₂-N(R₈)-,
 -C(R₆)-,
 -C(R₆)-O-,
 -O-C(R₆)-,
 15 -O-C(O)-O-,
 -N(R₈)-Q-,
 -C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,

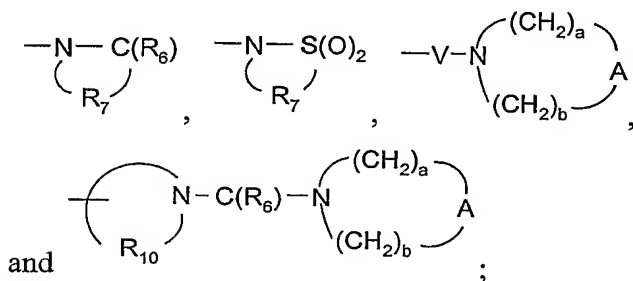




Z is a bond or -O-;

each R₄ is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

15 each R_5 is independently selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

20 each R₈ is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R₁₀ is independently C₃₋₈ alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(R₄)-;

each Q is independently selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

each V is independently selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 4; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;
or a pharmaceutically acceptable salt thereof.

35. The compound or salt of claim 34 wherein X is -CH(R_{9a})-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

36. The compound or salt of claim 35 wherein X is -C₃₋₅ alkylene- or -CH₂CH₂OCH₂CH₂-.

37. The compound or salt of any one of claims 34 through 36 wherein R' is selected from the group consisting of hydrogen and C₁₋₄ alkyl.

38. The compound or salt of any one of claims 34 through 37 wherein Y' is a bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.

39. The compound or salt of any one of claims 34 through 37 wherein Y' is a bond and R' and R₁ are each hydrogen.
40. The compound or salt of any one of claims 34 through 37 wherein Y' is
5 -C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.
41. The compound or salt of any one of claims 34 through 37 or 40 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group
10 consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
42. The compound or salt of claim 41 wherein R₁ is selected from the group consisting of C₁₋₆ alkyl and pyridyl.
15
43. The compound or salt of any one of claims 34 through 37 or 40 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,
20 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
44. The compound or salt of claim 43 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,
25 -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
45. The compound or salt of any one of claims 34 through 44 wherein R₂ is hydrogen, alkoxyalkylenyl, -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)_{0.2}-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-,
30 -C(R₆)-N(R₈)-, -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-; and R₄ is alkyl.

46. The compound or salt of claim 45 wherein R₂ is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

5 47. The compound or salt of claim 46 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

10 48. The compound or salt of any one of claims 34 through 44 wherein R₂ is selected from the group consisting of:

hydrogen,
alkyl,
alkenyl,
aryl,
15 heteroaryl,
heterocyclyl,
alkylene-Y"-alkyl,
alkylene-Y"-alkenyl,
alkylene-Y"-aryl, and

20 alkyl or alkenyl substituted by one or more substituents selected from the group consisting of:

hydroxyl,
halogen,
-N(R_{8a})₂,
25 -C(O)-C₁₋₁₀ alkyl,
-C(O)-O-C₁₋₁₀ alkyl,
-N₃,
aryl,
heteroaryl,
30 heterocyclyl,

-C(O)-aryl, and
-C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)₀₋₂; and

5 each R_{8a} is independently selected from the group consisting of
hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

49. The compound or salt of any one of claims 34 through 48 wherein m and n
are each 0.

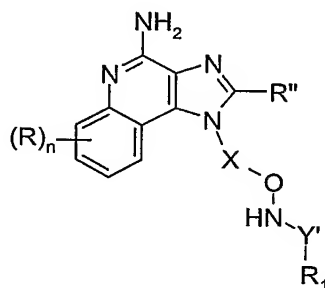
10

50. The compound or salt of any one of claims 34 through 48 wherein m is 1,
and R₃ is phenyl, pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl,
2-ethoxyphenyl, 3-(morpholine-4-carbonyl)phenyl, or
3-(*N,N*-dimethylaminocarbonyl)phenyl.

15

51. The compound or salt of any one of claims 34 through 48 or 50 wherein
each R is independently selected from the group consisting of alkyl, alkoxy,
halogen, hydroxyl, and trifluoromethyl.

20 52. A compound of the formula (IV):



IV

wherein:

25 X is selected from the group consisting of -CH(R_{9a})-alkylene- and

-CH(R_{9a})-alkenylene-;

Y' is selected from the group consisting of:

- a bond,
- C(O)-,
- 5 -C(S)-,
- S(O)₂-,
- S(O)₂-N(R_{8a})-,
- C(O)-O-,
- C(O)-N(R_{8a})-,
- 10 -C(S)-N(R_{8a})-,
- C(O)-N(R_{8a})-S(O)₂-,
- C(O)-N(R_{8a})-C(O)-,
- C(S)-N(R_{8a})-C(O)-, and
- C(O)-C(O)-O-;

15 R₁ is selected from the group consisting of:

- hydrogen,
- alkyl,
- alkenyl,
- aryl,
- 20 alkylene-aryl,
- alkylene-heteroaryl,
- alkylene-heterocyclyl,
- heteroaryl,
- heterocyclyl, and
- 25 alkyl, alkenyl, aryl, arylalkylenyl, heteroarylalkylenyl,
- heterocyclylalkylenyl, heteroaryl or heterocyclyl, substituted by one or more
- substituents selected from the group consisting of:

- hydroxyl,
- alkyl,
- 30 haloalkyl,

hydroxyalkyl,
 -O-alkyl,
 -S(O)₀₋₂-alkyl,
 -S(O)₀₋₂-aryl,
 5 -O-haloalkyl,
 halogen,
 nitrile,
 nitro,
 aryl,
 10 heteroaryl,
 heterocyclyl,
 -O-aryl,
 -O-alkylene-aryl,
 -C(O)-O-alkyl,
 15 -C(O)-N(R_{8a})₂,
 -N(R_{8a})-C(O)-alkyl,
 -O-C(O)-alkyl, and
 -C(O)-alkyl;

each R and R" are independently selected from the group consisting of
 20 hydrogen and non-interfering substituents;

R_{9a} is selected from the group consisting of hydrogen and alkyl which may
 be optionally interrupted by one or more -O- groups;

each R_{8a} is independently selected from the group consisting of hydrogen,
 C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl; and
 25 n is an integer from 0 to 4;
 or a pharmaceutically acceptable salt thereof.

53. The compound or salt of claim 52 wherein Y' is -C(O)-, -S(O)₂-, or
 -C(O)-N(R_{8a})-.

30

54. The compound or salt of claim 52 or 53 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

55. The compound or salt of claim 52 or 53 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

56. The compound or salt of claim 55 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

57. The compound or salt of any one of claims 52 through 56 wherein X is -CH(R_{9a})-C₁₋₁₀ alkylene-.

58. The compound or salt of claim 57 wherein X is propylene or butylene.

59. The compound or salt of any one of claims 52 through 58 wherein each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl.

60. The compound or salt of any one of claims 52 through 59 wherein R" is selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,
aryl,
heteroaryl,
heterocyclyl,
5 alkylene-Y"-alkyl,
alkylene-Y"-alkenyl,
alkylene-Y"-aryl, and
alkyl or alkenyl substituted by one or more substituents selected from
the group consisting of:
10 hydroxyl,
halogen,
-N(R_{8a})₂,
-C(O)-C₁₋₁₀ alkyl,
-C(O)-O-C₁₋₁₀ alkyl,
15 -N₃,
aryl,
heteroaryl,
heterocyclyl,
-C(O)-aryl, and
20 -C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)₀₋₂; and

each R_{8a} is independently selected from the group consisting of
hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

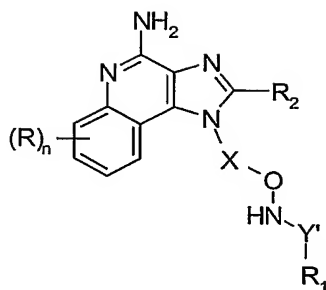
25

61. The compound or salt of claim 60 wherein R" is selected from the group
consisting of hydrogen, alkyl, and alkoxyalkylenyl.

62. The compound or salt of any one of claims 52 through 61 wherein n is 0.

30

63. A compound of the formula (V):



V

5 wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and -CH(R_{9a})-alkenylene-;

Y' is selected from the group consisting of:

- a bond,
- 10 -C(O)-,
- C(S)-,
- S(O)₂-,
- S(O)₂-N(R_{8a})-,
- C(O)-O-,
- 15 -C(O)-N(R_{8a})-,
- C(S)-N(R_{8a})-,
- C(O)-N(R_{8a})-S(O)₂-,
- C(O)-N(R_{8a})-C(O)-,
- C(S)-N(R_{8a})-C(O)-, and
- 20 -C(O)-C(O)-O-;

R₁ is selected from the group consisting of:

- hydrogen,
- alkyl,
- alkenyl,
- 25 aryl,

alkylene-aryl,
alkylene-heteroaryl,
alkylene-heterocyclyl,
heteroaryl,
5 heterocyclyl, and
alkyl, alkenyl, aryl, arylalkylenyl, heteroarylalkylenyl,
heterocyclylalkylenyl, heteroaryl or heterocyclyl, substituted by one or more
substituents selected from the group consisting of:
hydroxyl,
10 alkyl,
haloalkyl,
hydroxyalkyl,
-O-alkyl,
-S(O)₀₋₂-alkyl,
15 -S(O)₀₋₂-aryl,
-O-haloalkyl,
halogen,
nitrile,
nitro,
20 aryl,
heteroaryl,
heterocyclyl,
-O-aryl,
-O-alkylene-aryl,
25 -C(O)-O-alkyl,
-C(O)-N(R_{8a})₂,
-N(R_{8a})-C(O)-alkyl,
-O-C(O)-alkyl, and
-C(O)-alkyl;

each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl;

R₂ is selected from the group consisting of:

- hydrogen,
- 5 alkyl,
- alkenyl,
- aryl,
- heteroaryl,
- heterocyclyl,
- 10 alkylene-Y"-alkyl,
- alkylene-Y"-alkenyl,
- alkylene-Y"-aryl, and
- alkyl or alkenyl substituted by one or more substituents selected from
- the group consisting of:
- 15 hydroxyl,
- halogen,
- N(R_{8a})₂,
- C(O)-C₁₋₁₀ alkyl,
- C(O)-O-C₁₋₁₀ alkyl,
- 20 -N₃,
- aryl,
- heteroaryl,
- heterocyclyl,
- C(O)-aryl, and
- 25 -C(O)-heteroaryl;

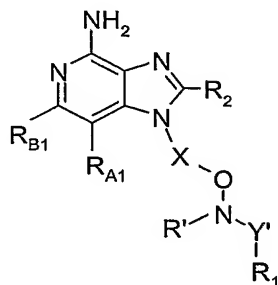
Y" is -O- or -S(O)₀₋₂;

each R_{8a} is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl;

30 R_{9a} is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups; and

n is an integer from 0 to 4;
or a pharmaceutically acceptable salt thereof.

64. A compound of the formula (VI):



VI

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
-CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally
interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

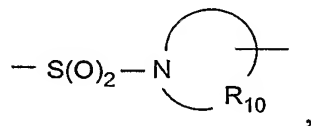
a bond,

-C(O)-,

-C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

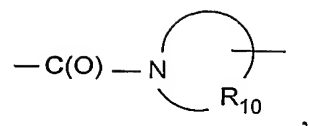
-C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



$-\text{C}(\text{O})-\text{C}(\text{O})-$,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$, and

$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$;

5 R_1 and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

10 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

15 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxyl,

alkyl,

20 haloalkyl,

hydroxyalkyl,

alkoxy,

dialkylamino,

$-\text{S}(\text{O})_{0-2}$ -alkyl,

25 $-\text{S}(\text{O})_{0-2}$ -aryl,

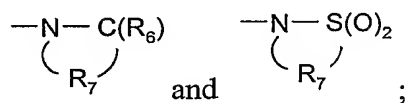
$-\text{NH}-\text{S}(\text{O})_2$ -alkyl,

$-\text{NH}-\text{S}(\text{O})_2$ -aryl,

haloalkoxy,

5 halogen,
 nitrile,
 nitro,
 aryl,
 heteroaryl,
 heterocyclyl,
 aryloxy,
 arylalkyleneoxy,
 10 -C(O)-O-alkyl,
 -C(O)-N(R₈)₂,
 -N(R₈)-C(O)-alkyl,
 -O-C(O)-alkyl, and
 -C(O)-alkyl;

15 or R₁ and R' together with the nitrogen atom and Y' to which they are
 bonded can join to form a ring selected from the group consisting of:



R_{A1} and R_{B1} are each independently selected from the group consisting of:

20 hydrogen,
 halogen,
 alkyl,
 alkenyl,
 alkoxy,
 alkylthio, and
 -N(R₉)₂;

25 R₂ is selected from the group consisting of:

-R₄,
 -X'-R₄,
 -X'-Y-R₄, and

-X'-R₅;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)₀₋₂-,

-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,

-O-C(R₆)-,

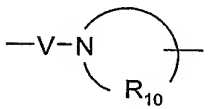
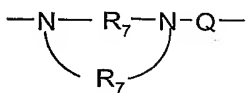
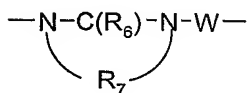
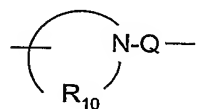
-O-C(O)-O-,

-N(R₈)-Q-,

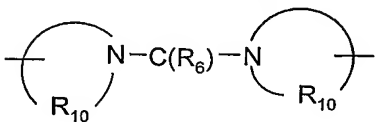
-C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

-C(R₆)-N(OR₉)-,



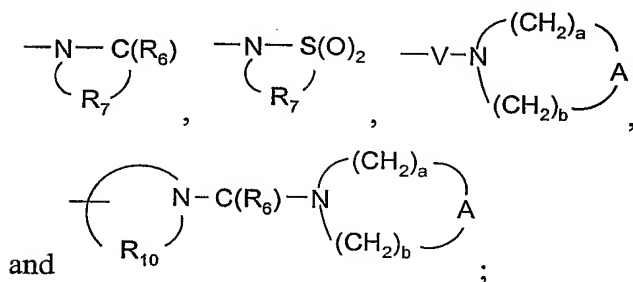
, and



;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of:



15 each R₆ is independently selected from the group consisting of =O and =S;
each R₇ is independently C₂₋₇ alkylene;
each R₈ is independently selected from the group consisting of hydrogen,
C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;
each R₉ is independently selected from the group consisting of hydrogen and
20 alkyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R₁₀ is independently C₃₋₈ alkylene;

25 A is selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-,

-S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-; and

5 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

65. The compound or salt of claim 64 wherein X is -CH(R_{9a})-alkylene-, wherein
10 the alkylene is optionally interrupted by one or more -O- groups.

66. The compound or salt of claim 65 wherein X is -C₃₋₅ alkylene- or
-CH₂CH₂OCH₂CH₂-.

15 67. The compound or salt of any one of claims 64 through 66 wherein R' is selected from the group consisting of hydrogen and C₁₋₄ alkyl.

68. The compound or salt of any one of claims 64 through 67 wherein Y' is a
bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.

20

69. The compound or salt of any one of claims 64 through 67 wherein Y' is
-C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.

25 70. The compound or salt of any one of claims 64 through 67 or 69 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

71. The compound or salt of claim 70 wherein R₁ is selected from the group consisting of C₁₋₆ alkyl and pyridyl.
72. The compound or salt of any one of claims 64 through 67 or 69 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
73. The compound or salt of claim 72 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
74. The compound or salt of any one of claims 64 through 73 wherein R₂ is hydrogen, alkoxyalkylenyl, -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)₀₋₂-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-, -C(R₆)-N(R₈)-, -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-; and R₄ is alkyl.
75. The compound or salt of claim 74 wherein R₂ is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.
76. The compound or salt of claim 75 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.
77. The compound or salt of any one of claims 64 through 73 wherein R₂ is selected from the group consisting of:
- hydrogen,
- alkyl,

alkenyl,
aryl,
heteroaryl,
heterocyclyl,
5 alkylene-Y"-alkyl,
alkylene-Y"-alkenyl,
alkylene-Y"-aryl, and
alkyl or alkenyl substituted by one or more substituents selected from
the group consisting of:

10 hydroxyl,
halogen,
-N(R_{8a})₂,
-C(O)-C₁₋₁₀ alkyl,
-C(O)-O-C₁₋₁₀ alkyl,
15 -N₃,
aryl,
heteroaryl,
heterocyclyl,
-C(O)-aryl, and
20 -C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)₀₋₂-; and

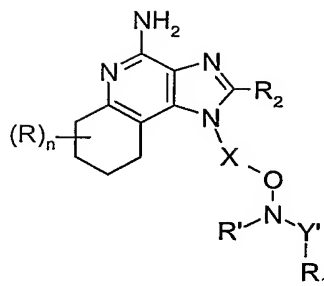
each R_{8a} is independently selected from the group consisting of
hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

25

78. The compound or salt of any one of claims 64 through 77 wherein R_{A1} and
R_{B1} are each methyl.

79. A compound of the formula (VII):

30



VII

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
 5 -CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally
 interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

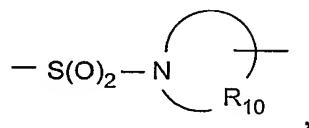
a bond,

-C(O)-,

10 -C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

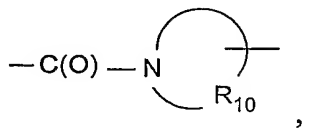
15 -C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

each R is independently selected from the group consisting of:

halogen,

5 hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R₉)₂;

R₁ and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

15 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

20 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

25 hydroxyl,

alkyl,

haloalkyl,

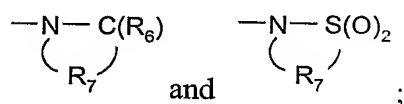
hydroxyalkyl,

alkoxy,

30 dialkylamino,

5 -S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
haloalkoxy,
halogen,
nitrile,
nitro,
aryl,
10 heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
15 -C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-C(O)-alkyl, and
-C(O)-alkyl;

20 or R₁ and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



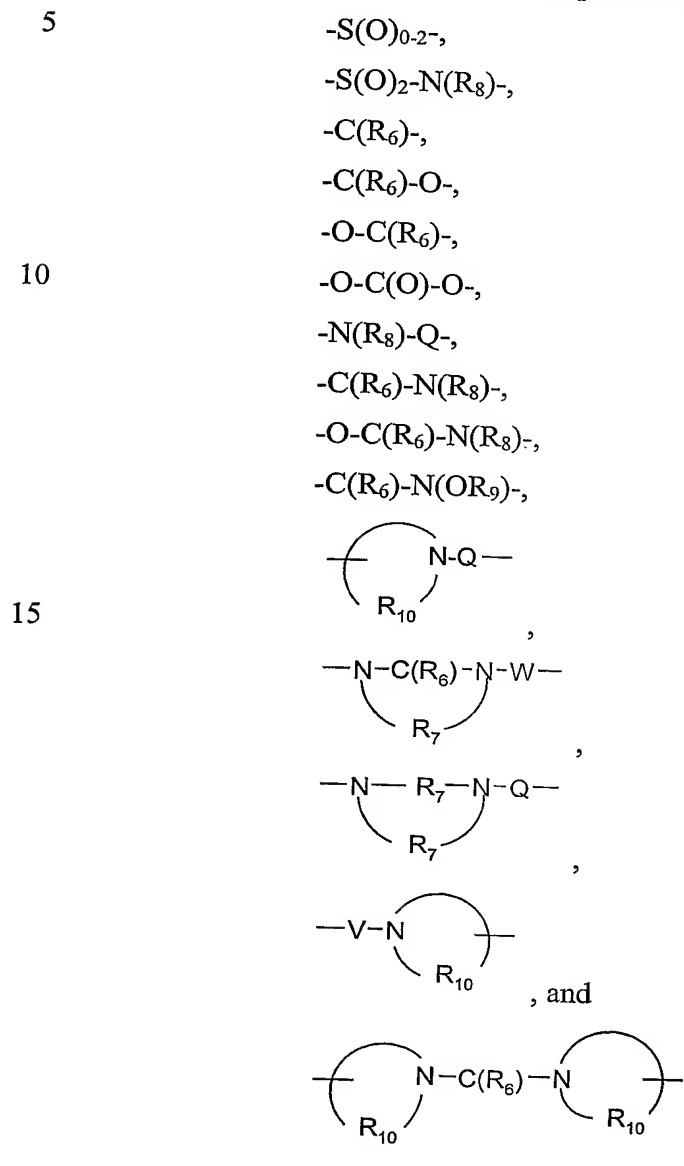
R_2 is selected from the group consisting of:

25 -R₄,
 -X'-R₄,
 -X'-Y-R₄, and
 -X'-R₅;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and

alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

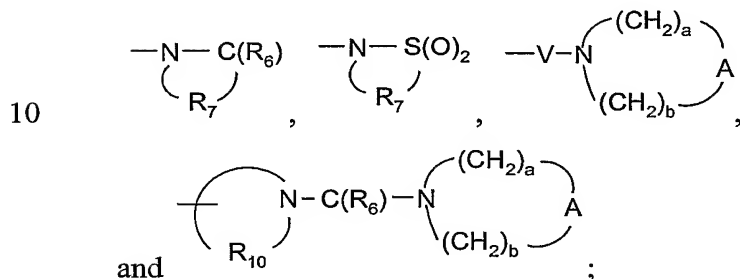
Y is selected from the group consisting of:



20 R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl,

alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R_5 is selected from the group consisting of:



each R_6 is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

each R₈ is independently selected from the group consisting of hydrogen,

15 C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

20 each R₁₀ is independently C₃₋₈ alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)_{0.2}-, and -N(R₄)-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

25 W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-,

-N(R₈)-C(R₆)-, and -S(O)₂-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; and

n is an integer from 0 to 4;

5 or a pharmaceutically acceptable salt thereof.

80. The compound or salt of claim 79 wherein X is -CH(R_{9a})-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

10 81. The compound or salt of claim 80 wherein X is -C₃₋₅ alkylene- or -CH₂CH₂OCH₂CH₂-.

82. The compound or salt of any one of claims 79 through 81 wherein R' is selected from the group consisting of hydrogen and C₁₋₄ alkyl.

15

83. The compound or salt of any one of claims 79 through 82 wherein Y' is a bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.

84. The compound or salt of any one of claims 79 through 82 wherein Y' is
20 -C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.

85. The compound or salt of any one of claims 79 through 82 and 84 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group
25 consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

86. The compound or salt of claim 85 wherein R₁ is selected from the group consisting of C₁₋₆ alkyl and pyridyl.

30

87. The compound or salt of any one of claims 79 through 82 and 84 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,
5 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

88. The compound or salt of claim 87 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,
10 -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

89. The compound or salt of any one of claims 79 through 88 wherein R₂ is hydrogen, alkoxyalkylenyl, -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)₀₋₂-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-,
15 -C(R₆)-N(R₈)-, -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-, and R₄ is alkyl.

90. The compound or salt of claim 89 wherein R₂ is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

20 91. The compound or salt of claim 90 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

92. The compound or salt of any one of claims 79 through 88 wherein R₂ is
25 selected from the group consisting of:

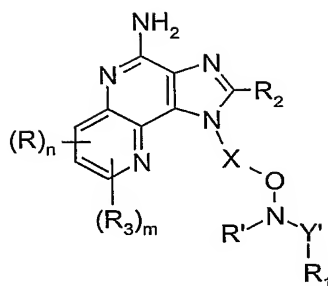
hydrogen,
alkyl,
alkenyl,
aryl,
30 heteroaryl,

- heterocyclyl,
alkylene-Y"-alkyl,
alkylene-Y"-alkenyl,
alkylene-Y"-aryl, and
5 alkyl or alkenyl substituted by one or more substituents selected from
the group consisting of:
hydroxyl,
halogen,
-N(R_{8a})₂,
10 -C(O)-C₁₋₁₀ alkyl,
-C(O)-O-C₁₋₁₀ alkyl,
-N₃,
aryl,
heteroaryl,
15 heterocyclyl,
-C(O)-aryl, and
-C(O)-heteroaryl;

wherein:

- Y" is -O- or -S(O)₀₋₂-; and
20 each R_{8a} is independently selected from the group consisting of
hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

93. The compound or salt of any one of claims 79 through 92 wherein each R is
independently selected from the group consisting of alkyl, alkoxy, halogen,
25 hydroxyl, and trifluoromethyl.
94. The compound or salt of any one of claims 79 through 92 wherein n is 0.
95. A compound of the formula (VIII):



VIII

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
 5 -CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally
 interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

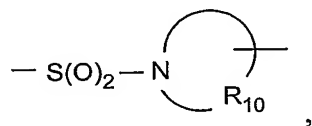
a bond,

-C(O)-,

10 -C(S)-,

-S(O)₂-,

-S(O)₂-N(R₈)-,



-C(O)-O-,

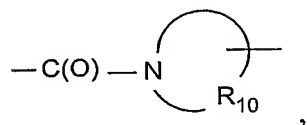
15 -C(O)-N(R₈)-,

-C(S)-N(R₈)-,

-C(O)-N(R₈)-S(O)₂-,

-C(O)-N(R₈)-C(O)-,

-C(S)-N(R₈)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R₈)-;

each R is independently selected from the group consisting of:

halogen,

5 hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R₉)₂;

R₁ and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

15 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

20 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

25 hydroxyl,

alkyl,

haloalkyl,

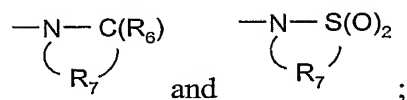
hydroxyalkyl,

alkoxy,

30 dialkylamino,

-S(O)₀₋₂-alkyl,
-S(O)₀₋₂-aryl,
-NH-S(O)₂-alkyl,
-NH-S(O)₂-aryl,
5 haloalkoxy,
halogen,
nitrile,
nitro,
aryl,
10 heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
15 -C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-C(O)-alkyl, and
-C(O)-alkyl;

or R₁ and R' together with the nitrogen atom and Y' to which they are
20 bonded can join to form a ring selected from the group consisting of:



R_2 is selected from the group consisting of:

-R₄,
-X'-R₄,
25 -X'-Y-R₄, and
-X'-R₅;

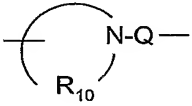
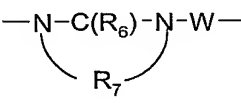
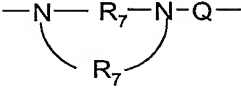
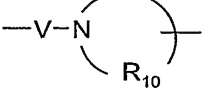
R_3 is selected from the group consisting of:

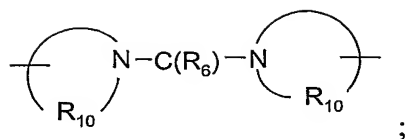
-Z-R₄,

-Z-X'-R₄,
 -Z-X'-Y-R₄, and
 -Z-X'-R₅;

each X' is independently selected from the group consisting of alkylene,
 5 alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the
 alkylene, alkenylene, and alkynylene groups can be optionally interrupted or
 terminated with arylene, heteroarylene, or heterocyclylene, and optionally
 interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

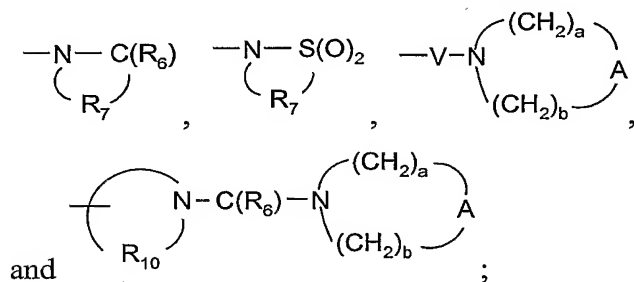
10 -S(O)₀₋₂-,
 -S(O)₂-N(R₈)-,
 -C(R₆)-,
 -C(R₆)-O-,
 -O-C(R₆)-,
 15 -O-C(O)-O-,
 -N(R₈)-Q-,
 -C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,
 20 ,
,
,
, and



Z is a bond or -O-;

each R₄ is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R₅ is independently selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

each R₈ is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R_{10} is independently C_{3-8} alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(R₄)-;

each Q is independently selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

each V is independently selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$;

n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

or a pharmaceutically acceptable salt thereof.

96. The compound or salt of claim 95 wherein X is -CH(R_{9a})-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

97. The compound or salt of claim 96 wherein X is -C₃₋₅ alkylene- or -CH₂CH₂OCH₂CH₂-.

98. The compound or salt of any one of claims 95 through 97 wherein R' is selected from the group consisting of hydrogen and C₁₋₄ alkyl.

99. The compound or salt of any one of claims 95 through 98 wherein Y' is a bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.

100. The compound or salt of any one of claims 95 through 98 wherein Y' is a bond and R' and R₁ are each hydrogen.

5 101. The compound or salt of any one of claims 95 through 98 wherein Y' is -C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.

102. The compound or salt of any one of claims 95 through 98 and 101 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the
10 group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

103. The compound or salt of claim 102 wherein R₁ is selected from the group consisting of C₁₋₆ alkyl and pyridyl.
15

104. The compound or salt of any one of claims 95 through 98 and 101 wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,
20 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

105. The compound or salt of claim 104 wherein R₁ is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,
25 -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

106. The compound or salt of any one of claims 95 through 105 wherein R₂ is hydrogen, alkoxyalkylenyl, -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)₀₋₂-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-,
30 -C(R₆)-N(R₈)-, -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-; and R₄ is alkyl.

107. The compound or salt of claim 106 wherein R_2 is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

5 108. The compound or salt of claim 107 wherein R_2 is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

10 109. The compound or salt of any one of claims 95 through 105 wherein R_2 is selected from the group consisting of:

hydrogen,
alkyl,
alkenyl,
aryl,
15 heteroaryl,
heterocyclyl,
alkylene- Y'' -alkyl,
alkylene- Y'' -alkenyl,
alkylene- Y'' -aryl, and

20 alkyl or alkenyl substituted by one or more substituents selected from the group consisting of:

hydroxyl,
halogen,
- $N(R_{8a})_2$,
25 - $C(O)-C_{1-10}$ alkyl,
- $C(O)-O-C_{1-10}$ alkyl,
- N_3 ,
aryl,
heteroaryl,
30 heterocyclyl,

-C(O)-aryl, and
-C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)₀₋₂-; and

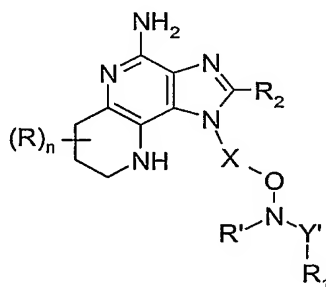
each R_{8a} is independently selected from the group consisting of
hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

110. The compound or salt of any one of claims 95 through 109 wherein each R
is independently selected from the group consisting of alkyl, alkoxy, halogen,
hydroxyl, and trifluoromethyl.

111. The compound or salt of any one of claims 95 through 110 wherein m and n
are each 0.

112. The compound or salt of any one of claims 95 through 110 wherein m is 1,
and R₃ is phenyl, pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl, 2-
ethoxyphenyl, 3-(morpholine-4-carbonyl)phenyl, or 3-(N,N-
dimethylaminocarbonyl)phenyl.

113. A compound of the formula (IX):



IX

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and

-CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

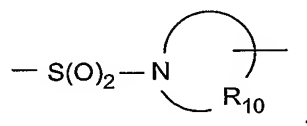
'Y' is selected from the group consisting of:

a bond,

5

-C(O)-,

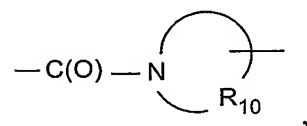
-C(S)-,

$$-\text{S}(\text{O})_2-$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$


10

$$-\text{C}(\text{O})-\text{O}-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{S}(\text{O})_2-$$
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$$

15

$$-\text{C}(\text{S})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$$

$$-\text{C}(\text{O})-\text{C}(\text{O})-$$

-C(O)-C(O)-O-, and

$$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-;$$

20

each R is independently selected from the group consisting of:

halogen,

hydroxyl,

alkyl,

alkenyl,

25

haloalkyl,

alkoxy,

alkylthio, and

-N(R₉)₂;

R₁ and R' are independently selected from the group consisting of:

hydrogen,

5

alkyl,

alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

10

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

15

selected from the group consisting of:

hydroxyl,

alkyl,

haloalkyl,

hydroxyalkyl,

20

alkoxy,

dialkylamino,

-S(O)₀₋₂-alkyl,

-S(O)₀₋₂-aryl,

-NH-S(O)₂-alkyl,

25

-NH-S(O)₂-aryl,

haloalkoxy,

halogen,

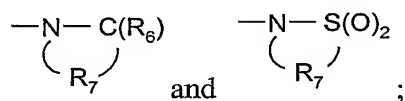
nitrile,

nitro,

30

aryl,

heteroaryl,
heterocyclyl,
aryloxy,
arylalkyleneoxy,
-C(O)-O-alkyl,
-C(O)-N(R₈)₂,
-N(R₈)-C(O)-alkyl,
-O-C(O)-alkyl, and
-C(O)-alkyl;



R_2 is selected from the group consisting of:

-R₄,
-X'-R₄,
-X'-Y-R₄, and
-X'-R₅;

-S(O)₀₋₂-,
-S(O)₂-N(R₈)-,
-C(R₆)-,
-C(R₆)-O-,
-O-C(R₆)-,

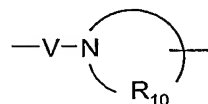
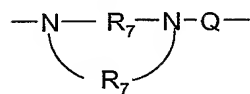
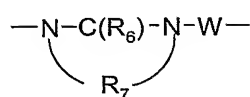
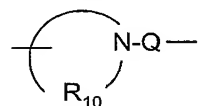
-O-C(O)-O-,

-N(R₈)-Q-,

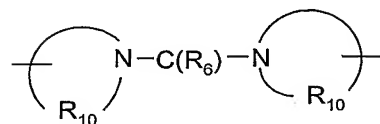
-C(R₆)-N(R₈)-,

-O-C(R₆)-N(R₈)-,

-C(R₆)-N(OR₉)-,



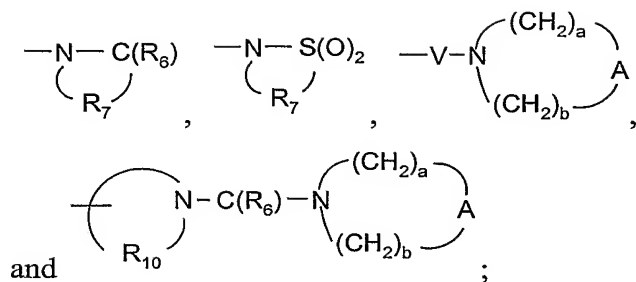
, and



;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

5 each R₈ is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

10 R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R₁₀ is independently C₃₋₈ alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(R₄)-;

15 Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

20 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; and

n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

114. The compound or salt of claim 113 wherein X is -CH(R_{9a})-alkylene-,
25 wherein the alkylene is optionally interrupted by one or more -O- groups.

115. The compound or salt of claim 114 wherein X is -C₃₋₅ alkylene- or -CH₂CH₂OCH₂CH₂-.

116. The compound or salt of any one of claims 113 through 115 wherein R' is
5 selected from the group consisting of hydrogen and C₁₋₄ alkyl.

117. The compound or salt of any one of claims 113 through 116 wherein Y' is a bond and R₁ is C₁₋₆ alkyl or aryl C₁₋₆ alkylenyl.

118. The compound or salt of any one of claims 113 through 116 wherein Y' is
10 -C(O)-, -S(O)₂-, or -C(O)-N(R₈)-.

119. The compound or salt of any one of claims 113 through 116 and 118
wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and
15 heteroaryl, each of which is optionally substituted by one or more substituents
selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen,
-O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

120. The compound or salt of claim 119 wherein R₁ is selected from the group
20 consisting of C₁₋₆ alkyl and pyridyl.

121. The compound or salt of any one of claims 113 through 116 and 118
wherein R₁ is selected from the group consisting of alkyl, alkenyl, aryl, and
heteroaryl, each of which is optionally substituted by one or more substituents
25 selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen,
-O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

122. The compound or salt of claim 121 wherein R₁ is selected from the group
consisting of alkyl and aryl, each of which is optionally substituted by one or more
30 substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,

-S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

123. The compound or salt of any one of claims 113 through 122 wherein R₂ is hydrogen, alkoxyalkylenyl, -R₄, -X'-R₄, or -X'-Y-R₄; wherein X' is C₁₋₂ alkylene; Y is -S(O)₀₋₂-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-, -O-C(O)-O-, -N(R₈)-Q-, -C(R₆)-N(R₈)-, -O-C(R₆)-N(R₈)-, or -C(R₆)-N(OR₉)-, and R₄ is alkyl.

124. The compound or salt of claim 123 wherein R₂ is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

125. The compound or salt of claim 124 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

126. The compound or salt of any one of claims 113 through 122 wherein R₂ is selected from the group consisting of:

hydrogen,
alkyl,
alkenyl,
aryl,
heteroaryl,
heterocyclyl,
alkylene-Y''-alkyl,
alkylene-Y''-alkenyl,
alkylene-Y''-aryl, and
alkyl or alkenyl substituted by one or more substituents selected from

the group consisting of:

hydroxyl,
halogen,
-N(R_{8a})₂,

-C(O)-C₁₋₁₀ alkyl,
 -C(O)-O-C₁₋₁₀ alkyl,
 -N₃,
 aryl,
 heteroaryl,
 heterocyclyl,
 -C(O)-aryl, and
 -C(O)-heteroaryl;

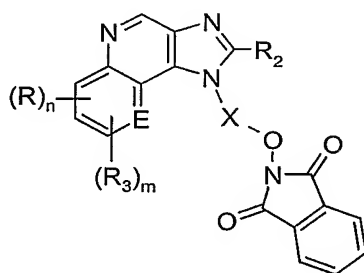
wherein:

Y" is -O- or -S(O)₀₋₂; and
 each R_{8a} is independently selected from the group consisting of
 hydrogen, C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl.

127. The compound or salt of any one of claims 113 through 126 wherein each R
 is independently selected from the group consisting of alkyl, alkoxy, halogen,
 hydroxyl, and trifluoromethyl.

128. The compound or salt of any one of claims 113 through 126 wherein n is 0.

129. A compound of the formula (X):



X

wherein:

E is selected from the group consisting of CH, CR, CR₃, and N, with the proviso that when E is CR₃, m is 0, and n is 0 or 1, and with the further proviso that when E is CR and m is 1, n is 0;

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
 5 -CH(R_{9a})-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

halogen,
 hydroxyl,
 10 alkyl,
 alkenyl,
 haloalkyl,
 alkoxy,
 alkylthio, and
 15 -N(R₉)₂;

R₂ is selected from the group consisting of:

-R₄,
 -X'-R₄,
 -X'-Y-R₄, and
 20 -X'-R₅;

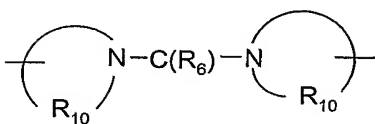
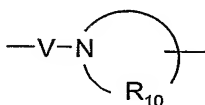
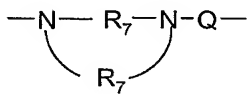
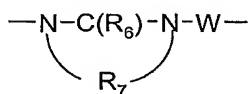
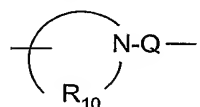
R₃ is selected from the group consisting of:

-Z-R₄,
 -Z-X'-R₄,
 -Z-X'-Y-R₄, and
 25 -Z-X'-R₅;

each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally
 30 interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

-S(O)₀₋₂-,
 -S(O)₂-N(R₈)-,
 -C(R₆)-,
 -C(R₆)-O-,
 -O-C(R₆)-,
 -O-C(O)-O-,
 -N(R₈)-Q-,
 -C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,



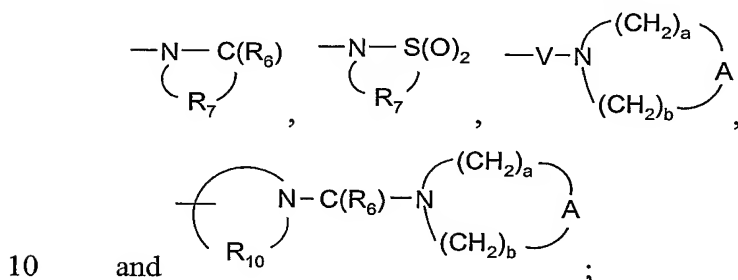
;

Z is a bond or -O-;

each R₄ is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,

heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R_5 is independently selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

each R₈ is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

15 each R₉ is independently selected from the group consisting of hydrogen and alkyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R₁₀ is independently C₃₋₈ alkylene;

20 each A is independently selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)_{0.2}-, and -N(R₄)-;

each Q is independently selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-

25 each W is independently selected from the group consisting of a bond,
-C(O)-, and -S(O)₂-;

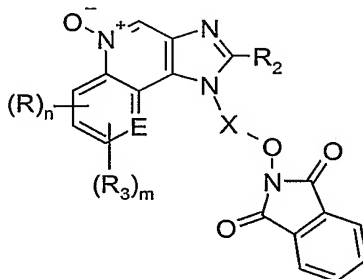
each V is independently selected from the group consisting of $-C(R_6)-$, $-O-C(R_6)-$, $-N(R_8)-C(R_6)-$, and $-S(O)_2-$;

a and b are independently integers from 1 to 6 with the proviso that $a + b \leq 7$;

5 n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;
or a pharmaceutically acceptable salt thereof.

130. A compound of the formula (XI):



XI

wherein:

E is selected from the group consisting of CH, CR, CR_3 , and N, with the proviso that when E is CR_3 , m is 0, and n is 0 or 1, and with the further proviso that
15 when E is CR and m is 1, n is 0;

X is selected from the group consisting of $-CH(R_{9a})$ -alkylene- and $-CH(R_{9a})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more $-O-$ groups;

each R is independently selected from the group consisting of:

20 halogen,
hydroxyl,
alkyl,
alkenyl,
haloalkyl,

alkoxy,
 alkylthio, and
 $-N(R_9)_2$;

R_2 is selected from the group consisting of:

5 $-R_4$,
 $-X'-R_4$,
 $-X'-Y-R_4$, and
 $-X'-R_5$;

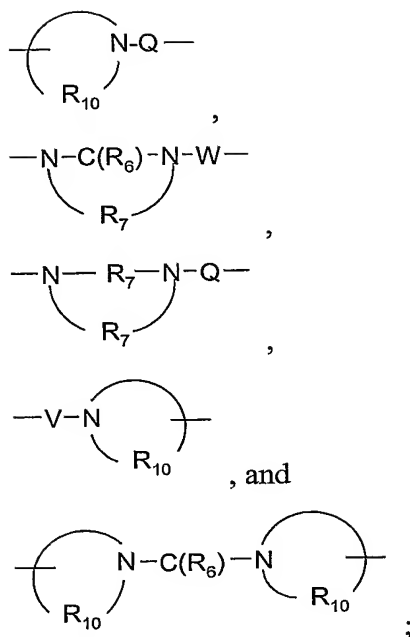
R_3 is selected from the group consisting of:

10 $-Z-R_4$,
 $-Z-X'-R_4$,
 $-Z-X'-Y-R_4$, and
 $-Z-X'-R_5$;

each X' is independently selected from the group consisting of alkylene,
 15 alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the
 alkylene, alkenylene, and alkynylene groups can be optionally interrupted or
 terminated with arylene, heteroarylene, or heterocyclylene, and optionally
 interrupted by one or more $-O-$ groups;

each Y is independently selected from the group consisting of:

20 $-S(O)_{0-2}-$,
 $-S(O)_2-N(R_8)-$,
 $-C(R_6)-$,
 $-C(R_6)-O-$,
 $-O-C(R_6)-$,
 25 $-O-C(O)-O-$,
 $-N(R_8)-Q-$,
 $-C(R_6)-N(R_8)-$,
 $-O-C(R_6)-N(R_8)-$,
 $-C(R_6)-N(OR_9)-$,



5

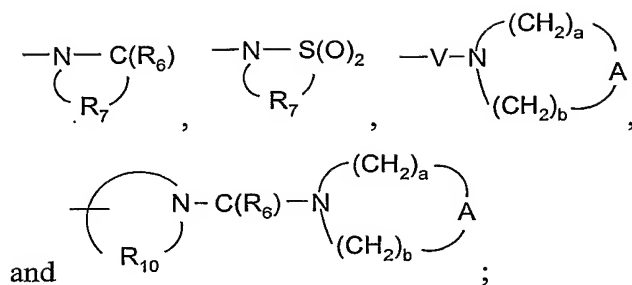
Z is a bond or -O-;

each R₄ is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

10

15

each R₅ is independently selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

5 each R₈ is independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₁₋₁₀ alkoxy-C₁₋₁₀ alkylenyl, and aryl-C₁₋₁₀ alkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

10 R_{9a} is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R₁₀ is independently C₃₋₈ alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(R₄)-

15 each Q is independently selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)₂-;

20 each V is independently selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

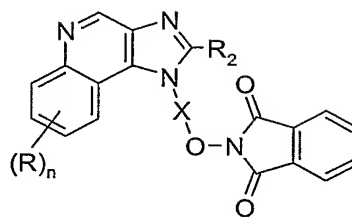
a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

25 or a pharmaceutically acceptable salt thereof.

131. A compound of the formula (XII):



XII

wherein:

5 X is selected from the group consisting of -CH(R_{9a})-alkylene- and -CH(R_{9a})-alkenylene-;

each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl;

R₂ is selected from the group consisting of:

10 hydrogen,
alkyl,
alkenyl,
aryl,
heteroaryl,
15 heterocyclyl,
alkylene-Y''-alkyl,
alkylene-Y''-alkenyl,
alkylene-Y''-aryl, and
alkyl or alkenyl substituted by one or more substituents selected from
20 the group consisting of:
hydroxyl,
halogen,
-N(R_{8a})₂,
-C(O)-C₁₋₁₀ alkyl,
25 -C(O)-O-C₁₋₁₀ alkyl,
-N₃,

aryl,
heteroaryl,
heterocyclyl,
-C(O)-aryl, and
-C(O)-heteroaryl;

Y" is -O- or -S(O)₀₋₂;

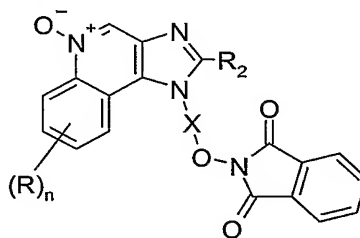
each R_{8a} is independently selected from the group consisting of hydrogen,
C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which may
be optionally interrupted by one or more -O- groups; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

132. A compound of the formula (XIII):



XIII

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
-CH(R_{9a})-alkenylene-;

each R is independently selected from the group consisting of alkyl, alkoxy,
halogen, hydroxyl, and trifluoromethyl;

R₂ is selected from the group consisting of:

hydrogen,
alkyl,
alkenyl,
aryl,

heteroaryl,
 heterocyclyl,
 alkylene-Y"-alkyl,
 alkylene-Y"-alkenyl,
 5 alkylene-Y"-aryl, and
 alkyl or alkenyl substituted by one or more substituents selected from

the group consisting of:

hydroxyl,
 halogen,
 10 -N(R_{8a})₂,
 -C(O)-C₁₋₁₀ alkyl,
 -C(O)-O-C₁₋₁₀ alkyl,
 -N₃,
 aryl,
 15 heteroaryl,
 heterocyclyl,
 -C(O)-aryl, and
 -C(O)-heteroaryl;

Y" is -O- or -S(O)₀₋₂;

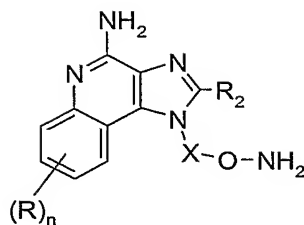
20 each R_{8a} is independently selected from the group consisting of hydrogen,
 C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which may
 be optionally interrupted by one or more -O- groups; and

n is an integer from 0 to 4;

25 or a pharmaceutically acceptable salt thereof.

133. A compound of the formula (XIV):



XIV

wherein:

X is selected from the group consisting of -CH(R_{9a})-alkylene- and
 5 -CH(R_{9a})-alkenylene-;

each R is independently selected from the group consisting of alkyl, alkoxy,
 halogen, hydroxyl, and trifluoromethyl;

R₂ is selected from the group consisting of:

hydrogen,
 10 alkyl,
 alkenyl,
 aryl,
 heteroaryl,
 heterocyclyl,
 15 alkylene-Y''-alkyl,
 alkylene-Y''-alkenyl,
 alkylene-Y''-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from
 the group consisting of:

20 hydroxyl,
 halogen,
 -N(R_{8a})₂,
 -C(O)-C₁₋₁₀ alkyl,
 -C(O)-O-C₁₋₁₀ alkyl,
 25 -N₃,
 aryl,

heteroaryl,
heterocyclyl,
-C(O)-aryl, and
-C(O)-heteroaryl,

5 Y" is -O- or -S(O)₀₋₂;

each R_{8a} is independently selected from the group consisting of hydrogen,
C₁₋₁₀ alkyl, and C₂₋₁₀ alkenyl;

R_{9a} is selected from the group consisting of hydrogen and alkyl which may
be optionally interrupted by one or more -O- groups; and

10 n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

134. A pharmaceutical composition comprising a therapeutically effective
amount of a compound or salt of any one of claims 1 through 128 in combination
15 with a pharmaceutically acceptable carrier.

135. A method of inducing cytokine biosynthesis in an animal comprising
administering an effective amount of a compound or salt of any one of claims 1
through 128 to the animal.

20

136. A method of treating a viral disease in an animal in need thereof comprising
administering a therapeutically effective amount of a compound or salt of any one
of claims 1 through 128 to the animal.

25 137. A method of treating a neoplastic disease in an animal in need thereof
comprising administering a therapeutically effective amount of a compound or salt
of any one of claims 1 through 128 to the animal.